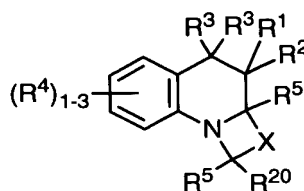


What is claimed is:

1. A compound of formula I, including enantiomeric, diastereomeric, or
 5 tautomeric isomers thereof, or any pharmaceutically acceptable salt thereof;



I

wherein,

10 R^1 is

- (a) R^{12}
- (b) $C(=O)R^6$, or
- (c) CN;

R^2 is

- 15 (a) R^{12}
- (b) $C(=O)R^7$,
- (c) CN,
- (d) $-CH_2-R^7$,
- (e) $-NR^{17}R^7$,
- 20 (f) $-CH_2COR^7$,
- (g) $-CH_2CH_2COR^7$;

Each R^3 is independently

- 25 (a) H,
- (b) R^{12} ,
- (c) Oxo,
- (d) C_{1-7} alkyl which is optionally partially unsaturated and is optionally substituted by one or more R^{11} ,
- 30 (e) C_{3-8} cycloalkyl which is optionally partially unsaturated and is optionally substituted by one or more R^{11} ,
- (f) aryl optionally substituted by one or more R^8 ,
- (g) heteroaryl optionally substituted by one or more R^8 , or
- (h) halo;

Each R^4 is independently

- 35 (a) H,

- (b) halo,
- (c) OR^{12} ,
- (d) $\text{OC(=O)NR}^9\text{R}^{10}$,
- (e) SR^{12} ,
- 5 (f) $\text{S(O)}_m\text{R}^{13}$,
- (g) NR^9R^{10} ,
- (h) $\text{NR}^9\text{S(O)}_m\text{R}^{13}$,
- (i) $\text{NR}^9\text{C(=O)OR}^{13}$,
- (j) phenyl optionally substituted by one or more R^8 ,
- 10 (k) heteroaryl optionally substituted by one or more R^8 ,
- (l) cyano,
- (m) nitro,
- (n) $\text{CONR}^9\text{R}^{10}$,
- (o) CO_2R^{12} ,
- 15 (p) C(=O)R^{13} ,
- (q) $\text{C(=NOR}^{12})\text{R}^{13}$,
- (r) $\text{S(O)}_m\text{NR}^9\text{R}^{10}$,
- (s) $\text{NR}^9\text{C(=O)-R}^{12}$,
- (t) C_{1-7} alkyl which is optionally partially unsaturated and is optionally substituted by one or more R^{11} ,
- 20 (u) C_{3-8} cycloalkyl which is optionally partially unsaturated and is optionally substituted by one or more R^{11} ,
- (v) N_3 ,
- (w) het^1 optionally substituted by one or more R^8 , or
- 25 (x) C(O)O-C_{1-4} alkyl- R^{12} ;

Each R^5 is independently,

- (a) H,
- (b) C_{1-7} alkyl which is optionally partially unsaturated and is optionally substituted by one or more R^{11} ,
- 30 (c) C_{3-8} cycloalkyl which is optionally partially unsaturated and is optionally substituted by one or more R^{11} ,
- (d) aryl optionally substituted by one or more R^8 , or
- (e) heteroaryl optionally substituted by one or more R^8 ;

R^6 and R^7 are independently;

- 35 (a) OR^{12} ,
- (b) NR^9R^{10} ,
- (c) R^{13} , or

- (e) R^6 and R^7 together with the 2 carbons to which they are attached form cyclohexane-1,3-dione optionally substituted by one or more R^{13} , cyclopentane-1,3-dione optionally substituted by one or more R^{13} , R^6 and R^7 together form $-N(R^{17})-S(O)_m-N(R^{17})-$, $-N(R^{17})-C(O)-N(R^{17})-$, $-N(R^{17})-C(S)-N(R^{17})-$, $-N(R^{17})-N(R^{17})-$, $-N(R^{17})-C(O)-$, or $-N(R^{17})-$, or R^6 and R^7 together form a phenyl ring;

R^8 is

- (a) H,
 (b) halo,
 10 (c) OR^{12} ,
 (d) OCF_3 ,
 (e) SR^{12} ,
 (f) $S(O)_mR^{13}$,
 (g) NR^9R^{10} ,
 15 (h) $NR^9S(O)_mR^{13}$,
 (i) $NR^9C(=O)OR^{13}$,
 (j) phenyl optionally substituted by halo, cyano, C_{1-7} alkyl, or C_{1-7} alkoxy, in the alkyl portion of the C_{1-7} alkyl and C_{1-7} alkoxy is optionally substituted by one or more R^{11} ;
 20 (k) heteroaryl optionally substituted by halo, C_{1-7} alkyl, or C_{1-7} alkoxy,
 (l) cyano,
 (m) nitro,
 (n) $CONR^9R^{10}$,
 (o) CO_2R^{12} ,
 25 (p) $C(=O)R^{13}$,
 (q) $C(=NOR^{12})R^{13}$,
 (r) $S(O)_mNR^9R^{10}$,
 (s) $NR^9C(=O)-R^{12}$,
 (t) C_{1-7} alkyl which is optionally partially unsaturated and is optionally substituted by one or more R^{11} ,
 30 (u) C_{3-8} cycloalkyl which is optionally partially unsaturated and is optionally substituted by one or more R^{11} ,
 (v) $-C(O)H$, or
 (w) $-het^1$;
- 35 R^9 and R^{10} are independently
- (a) H,
 (b) OR^{12} ,
 (c) aryl optionally substituted by one or more R^{14} ,

- (d) heteroaryl optionally substituted by one or more R^{14} ,
 (e) C_{1-7} alkyl which is optionally substituted by one or more R^{11} ,
 (f) C_{3-8} cycloalkyl which is optionally substituted by one or more R^{11} ,
 (g) $(C=O)R^{13}$, or
 5 (h) R^9 and R^{10} together with the nitrogen to which they are attached form morpholine, pyrrolidine, piperidine, thiazine, piperazine, each of the morpholine, pyrrolidine, piperidine, thiazine, piperazine being optionally substituted with R^{11} ;

R^{11} is

- 10 (a) oxo,
 (b) phenyl optionally substituted by one or more R^{14} ,
 (c) OR^{12} ,
 (d) SR^{12} ,
 (e) $NR^{12}R^{12}$,
 15 (f) halo,
 (g) CO_2R^{12} ,
 (h) $CONR^{12}R^{12}$,
 (i) C_{1-7} alkyl which is optionally substituted oxo, halo, OR^{12} , SR^{12} , C_{1-7} alkyl, or $NR^{12}R^{12}$ substituents, or
 20 (j) C_{3-8} cycloalkyl which is optionally partially unsaturated and is optionally substituted by one or more oxo, halo, OR^{12} , SR^{12} , C_{1-7} alkyl, or $NR^{12}R^{12}$ substituents;

R^{12} is

- 25 (a) H,
 (b) C_{1-7} alkyl which is optionally partially unsaturated and is optionally substituted by oxo, halo, C_{1-7} alkyl, or C_{1-7} alkoxy substituents,
 (c) C_{3-8} cycloalkyl which is optionally partially unsaturated and is optionally substituted by one or more oxo, halo, C_{1-7} alkyl, or C_{1-7} alkoxy substituents,
 30 (d) aryl optionally substituted by one or more halo, C_{1-7} alkyl, or C_{1-7} alkoxy substituents, or
 (e) heteroaryl optionally substituted by one or more halo, C_{1-7} alkyl, or C_{1-7} alkoxy substituents;

R^{13} is

- 35 (a) C_{1-7} alkyl which is optionally substituted by one or more by oxo, halo, carboxyl, C_{1-7} alkyl, or C_{1-7} alkoxy substituents,

- (b) C₃₋₈cycloalkyl which is optionally partially unsaturated and is optionally substituted by one or more by oxo, halo, C₁₋₇alkyl, or C₁₋₇alkoxy substituents,
- (c) aryl optionally substituted by one or more halo, C₁₋₇alkyl, or C₁₋₇alkoxy substituents;
- (d) heteroaryl optionally substituted by one or more halo, C₁₋₇alkyl, or C₁₋₇alkoxy substituents,
- (e) -C(O)OH

R¹⁴ is

- (a) H,
- (b) halo,
- (c) C₁₋₇alkyl,
- (d) OR¹²,
- (e) OCF₃,
- (f) SR¹²,
- (g) S(O)_mR¹³,
- (h) NR¹²R¹²,
- (i) NR¹²S(O)_mR¹³,
- (j) NR¹²C(=O)OR¹³,
- (k) phenyl optionally substituted by halo, C₁₋₇alkyl, or C₁₋₇alkoxy,
- (l) heteroaryl optionally substituted by halo, C₁₋₇alkyl, or C₁₋₇alkoxy,
- (m) cyano,
- (n) nitro,
- (o) CONR¹²R¹²,
- (p) CO₂R¹²,
- (q) C(=O)R¹³,
- (r) C(=NOR¹²)R¹³,
- (s) S(O)_mNR¹²R¹²,
- (t) NR⁹C(=O)-R¹²,
- (u) C₁₋₇alkyl which is optionally partially unsaturated and is optionally substituted by oxo, halo, OR¹², SR¹², C₁₋₇alkyl, or NR¹²R¹² substituents, or
- (v) C₃₋₈cycloalkyl which is optionally partially unsaturated and is optionally substituted by oxo, halo, OR¹², SR¹², C₁₋₇alkyl, or NR¹²R¹² substituents;

X is

- (a) -(C(R¹⁵)₂)_n⁻,
- (b) -(C(R¹⁵)₂)_m-O-(C(R¹⁵)₂)_k⁻,

- (c) $-(C(R^{15})_2)_m-S(O)_m-(C(R^{15})_2)_k-$, or
 (d) $-(C(R^{15})_2)_m-NR^{16}-(C(R^{15})_2)_k-$;

Each R^{15} is independently

- (a) H,
 5 (b) OR^{11} ,
 (c) Oxo,
 (d) C_{1-7} alkyl which is optionally substituted by one or more by one or more R^{11} substituents,
 (e) C_{3-8} cycloalkyl which is optionally partially unsaturated and is
 10 optionally substituted by one or more by one or more R^{11} substituents,
 (f) aryl optionally substituted by one or more R^8 , or
 (g) heteroaryl optionally substituted by one or more R^8 ;

R^{16} is

- (a) H
 15 (b) OR^{12} ,
 (c) $(C=O)R^{13}$,
 (d) $(C=O)OR^{13}$,
 (e) $(C=O)NR^9R^{10}$,
 (f) $S(O)_mR^{13}$,
 20 (g) $S(O)_mNR^9R^{10}$,
 (h) C_{1-7} alkyl which is optionally substituted by one or more R^{11} substituents,
 (i) C_{3-8} cycloalkyl which is optionally partially unsaturated and is
 optionally substituted by one or more R^{11} substituents,
 25 (j) aryl optionally substituted by one or more R^8 , or
 (k) heteroaryl optionally substituted by one or more R^8 ;

R^{17} is

- (a) H,
 (b) -OH, and
 30 (c) C_{1-4} alkyl;

R^{19} is

- (a) H,
 (b) OR^{11} ,
 (c) Oxo,
 35 (d) C_{1-7} alkyl which is optionally substituted by one or more by one or more R^{11} substituents,

- (e) C₃₋₈cycloalkyl which is optionally partially unsaturated and is optionally substituted by one or more by one or more R¹¹ substituents,
- (f) aryl optionally substituted by one or more R⁸, or
- (g) heteroaryl optionally substituted by one or more R⁸;

5 R²⁰ is

- (a) H,
- (b) C₁₋₇alkyl which is optionally partially unsaturated and is optionally substituted by one or more R¹¹,
- (c) C₃₋₈cycloalkyl which is optionally partially unsaturated and is optionally substituted by one or more R¹¹,
- (d) aryl optionally substituted by one or more R⁸,
- (e) heteroaryl optionally substituted by one or more R⁸, or
- (f) R²⁰ and R¹⁹, taken together, form-CH₂-;

10 wherein, "aryl" denotes a phenyl radical or an ortho-fused bicyclic carbocyclic radical having about nine to ten ring atoms in which at least one ring is aromatic;

15 wherein, "heteroaryl" encompasses a radical attached via a ring carbon or ring nitrogen of a monocyclic aromatic ring containing five or six ring atoms consisting of carbon and 1, 2, 3, or 4 heteroatoms, selected from oxygen (-O-), sulfur (-S-), oxygenated sulfur such as sulfinyl (S=O) and sulfonyl (S(=O)₂), or nitrogen N(Z) wherein Z is absent or is H, O, C₁₋₄alkyl, phenyl or benzyl, or a radical of an ortho-fused bicyclic heterocycle of about eight to ten ring atoms derived therefrom;

20 het¹ is a C- or N- linked five- (5), six- (6), seven- (7), or eight- (8) membered mono- or bicyclic ring, each mono- or bicyclic ring being fully saturated or partially unsaturated, and having 1-4 heteroatoms selected from the group consisting of oxygen, sulfur, and nitrogen; het¹ being optionally substituted by 1-2 substituents selected from C₁-C₄alkyl, amino, C₁-C₄alkylamino, C₁-C₄alkyloxy, halogen -CN, =O, =S;

each k is independently 0, 1, or 2;

each m is independently 0, 1, or 2;

30 each n is independently 1, 2, or 3; and

provided that

when each R₄ is H, that R₁ and R₂ are not simultaneously H, CN, or -C(O)-OCH₃ or that R₁ is not CN and R₂ is not -C(O)-OC₁₋₄alkyl;

35 when the compound is 1,2,4,4a-Tetrahydro-cis-2,4-dimethyl-8-nitrospiro[[1,4]oxazino[4,3-a]quinoline-5(6H), 5' (2' H)-pyrimidine]-2',4',6' (1' H,3' H)-trione that the compound is enantiomerically enriched (-) form of (2R,4S,4aS)-2,4-

dimethyl-8-nitro-1,2,4,4a-tetrahydro-2'H,6H-spiro[1,4-oxazino[4,3-a]quinoline-5,5'-pyrimidine]-2',4',6'(1'H,3'H)-trione; and

the compound is not 2,3,4,4a-tetrahydro-1',3'-dimethylspiro[1H 1-methylpyrazino[1,2-a]quinoline-5(6H),5'(2'H)-pyrimidine]-2'4'6'(1'H, 3'H)-trione.

5

2. The compound of claim 1, wherein each R^4 is independently

- (a) H,
- (b) halo,
- (c) SR^{12} ,
- 10 (f) $S(O)_mR^{13}$,
- (g) NR^9R^{10} ,
- (h) $NR^9S(O)_mR^{13}$,
- (i) $NR^9C(=O)OR^{13}$,
- (j) phenyl optionally substituted by one or more R^8 ,
- 15 (k) heteroaryl optionally substituted by one or more R^8 ,
- (l) cyano,
- (m) nitro,
- (n) $CONR^9R^{10}$,
- (o) CO_2R^{12} ,
- 20 (p) $C(=O)R^{13}$,
- (q) $C(=NOR^{12})R^{13}$,
- (s) $NR^9C(=O)-R^{12}$,
- (t) C_{1-7} alkyl which is optionally partially unsaturated and is optionally substituted by one or more R^{11} , or
- 25 (u) het^1 optionally substituted by one or more R^8 .

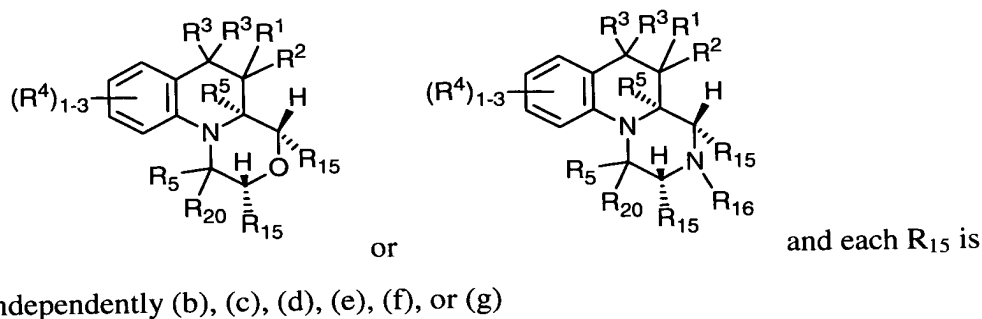
3. The compound of claim 2, wherein each R^4 is independently selected from NO_2 , H, Br, F, CF_3 , CN, NH_2 , $-C(O)-OCH_3$, $-S-CH_3$, $-S(O)_2-CH_3$, $-N(OCH_3)-CH_3$, $-NH-C(O)-O-tbutyl$, $-NH-C(O)-CH_3$, heteroaryl optionally substituted by one or more R^8 , het^1 optionally substituted by one or more R^8 , $-S(O)_2-CH_3$, or phenyl optionally substituted by one or more of NO_2 , Cl, F, $-OCH_3$, and $-OCF_3$.

4. The compound of claim 1, wherein each R^3 is H.

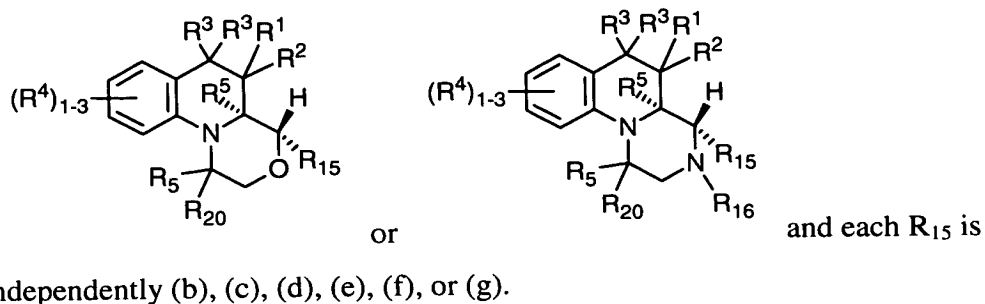
35 5. The compound of claim 1, wherein R^1 is $-C(O)R^6$.

6. The compound of claim 1, wherein R^2 is $-C(O)R^7$.
7. The compound of claim 6, wherein R^1 is $-C(O)R^6$.
- 5 8. The compound of claim 7, wherein R^6 and R^7 form $-N(R^{17})-C(O)-N(R^{17})-$ or $-N(R^{17})-C(S)-N(R^{17})-$.
9. The compound of claim 1, wherein X is $-(C(R^{15})_2)_m-O-(C(R^{15})_2)_k-$ or $-(C(R^{15})_2)_m-NR^{16}-(C(R^{15})_2)_k-$.
- 10 10. The compound of claim 9, wherein X is $-C(R^{15})_2-O-C(R^{15})_2-$ or $-C(R^{15})_2-NR^{16}-C(R^{15})_2-$.
11. The compound of claim 10, wherein each R^{15} is independently H, C_{1-7} alkyl
- 15 optionally substituted by one or more R^{11} substituents.
12. The compound of claim 11, wherein X is $-C(H)(C_{1-4} \text{ alkyl})-O-C(H)(C_{1-4} \text{ alkyl})-$ or $-C(H)(C_{1-4} \text{ alkyl})-NR^{16}-C(H)(C_{1-4} \text{ alkyl})-$.

- 20 13. The compound of claim 10, wherein the compound has the formula of



14. The compound of claim 10, wherein the compound has the formula of



15. The compound of claim 10, wherein R^{16} is $(C=O)OR^{13}$ or C_{1-7} alkyl.
- 5 16. The compound of claim 1, wherein each R^5 is independently H or C_{1-7} alkyl.
17. A compound selected from
- (2R,4S,4aS)-2,4-dimethyl-8-nitro-1,2,4,4a-tetrahydro-2'H,6H-spiro[1,4-oxazino[4,3-a]quinoline-5,5'-pyrimidine]-2',4',6'(1'H,3'H)-trione;
- 1,2,4,4a-Tetrahydro- 2,4-dimethylspiro[[1,4]oxazino[4,3-a]quinoline-5(6H), 5' (2' H)-
- 10 pyrimidine]-2',4',6' (1' H,3' H)-trione;
- 8-Bromo-1,2,4,4a-tetrahydro-2,4-dimethylspiro[[1,4]oxazino[4,3-a]quinoline-5(6H), 5' (2' H)-pyrimidine]-2',4',6' (1' H,3' H)-trione;
- 8-Fluoro-1,2,4,4a-tetrahydro-2,4-dimethylspiro[[1,4]oxazino[4,3-a]quinoline-5(6H), 5' (2' H)-pyrimidine]-2',4',6' (1' H,3' H)-trione;
- 15 1,2,4,4a-Tetrahydro-2,4-dimethyl-8-trifluoromethylspiro[[1,4]oxazino[4,3-a]quinoline-5(6H), 5' (2' H)-pyrimidine]-2',4',6' (1' H,3' H)-trione;
- 1,1',2, 3'4,4',4a, 6'-Octrahydro-2,4',6'-trioxospiro[[1,4]oxazino[4,3-a] quinoline-5(6H), 5' (2' H)-pyrimidine]-8-carbonitrile;
- 1,2,4,4a-Tetrahydro-2,4-dimethyl-8-carboxamidespiro[[1,4]oxazino[4,3-a]quinoline-
- 20 5(6H), 5' (2' H)-pyrimidine]-2',4',6' (1' H,3' H)-trione;
- 1,2,4,4a-Tetrahydro-8-nitrospiro[[1,4]oxazino[4,3-a]quinoline-5(6H), 5' (2' H)-pyrimidine]-2',4',6' (1' H,3' H)-trione;
- 1,2,4,4a-Tetrahydro-2,4-dimethylspiro[[1,4]oxazino[4,3-a]quinoline-5(6H), 5' (2' H)-pyrimidine]-2',4',6' (1' H,3' H)-trione;
- 25 8-Bromo-1,2,4,4a-tetrahydro-2,4-dimethylspiro[[1,4]piperazino[4,3-a]quinoline-5(6H), 5' (2' H)-pyrimidine]-2',4',6' (1' H,3' H)-trione;
- 1,2,4,4a-Tetrahydro-1,4a-dimethyl-8-nitrospiro[[1,4]oxazino[4,3-a]quinoline-5(6H), 5' (2' H)-pyrimidine]-2',4',6' (1' H,3' H)-trione;

- 8-Bromo-1,2,4,4a-tetrahydro-cis-2,4-dimethylspiro[[1,4]oxazino[4,3-a]quinoline-5(6H), 5' (2' H)-pyrimidine]-4'-thioxo-2',6' (1' H,3' H)-dione;
- 8-Bromo-1,2,4,4a-tetrahydro-cis-2,4-dimethylspiro[[1,4]oxazino[4,3-a]quinoline-5(6H), 5' (2'H)pyrimidine]-2',4',6' (1' methyl, 3' methyl)-trione;
- 5 *N*-[1,1',2,3',4,4',4a,6'-Octahydro-2,4-dimethyl-2',4',6'-trioxospiro[[1,4]oxazino[4,3-a]quinolone-5(6H),5'(2'H)-pyrimidin]-8-yl]acetamide;
- tert*-butyl 1,1',2, 3',4,4',4a,6'-Octahydro-2,4-dimethyl-2',4',6'-trioxospiro[[1,4]oxazino[4,3-a]quinolone-5(6H),5'(2'H)-pyrimidin]-8-ylcarbamate;
- 8-Amino-1,2,4,4a-tetrahydro-2,4-dimethylspiro[[1,4]oxazino[4,3-a]quinolone-5(6H),5'(2'H)-pyrimidine]-2',4',6'(1'H,3'H)-trione monohydrochloride;
- 10 9-Bromo-1,2,4,4a-tetrahydro-2,4-dimethyl-8-nitrospiro[[1,4]oxazino[4,3-a]quinoline-5(6H), 5' (2'H)-pyrimidine]-2',4',6' (1'H,3'H)-trione;
- 8-Acetyl-1,2,4,4a-tetrahydro-2,4-dimethylspiro[[1,4]oxazino[4,3-a]quinoline-5(6H),5'(2H)-pyrimidine)-2',4',6' (1'H,3'H)-trione;
- 15 8-Ethanone-O-methyloxime-1-1,2,4,4a-tetrahydro-2,4-dimethylspiro[[1,4]oxazino[4,3-a]quinoline-5(6H),5'(2H)-pyrimidine)-2',4',6' (1'H,3'H)-trione;
- 1,2,4,4a-Tetrahydro-2,4-dimethyl-8-(methylsulfonyl)spiro[[1,4]oxazino [4,3-a]quinoline-5(6H),5'(2'H)-pyrimidine]-2',4',6'(1'H,3'H)-trione;
- 1,2,4,4a-Tetrahydro-2,4-dimethyl-8-(methylsulfinyl)spiro[[1,4]oxazino [4,3-
- 20 a]quinoline-5(6H),5'(2'H)-pyrimidine]-2',4',6'(1'H,3'H)-trione;
- 1,2,4,4a-Tetrahydro-2,4-dimethyl-8-(methylthio)spiro[[1,4]oxazino[4,3-a]quinoline-5(6H),5'(2'H)-pyrimidine]-2',4',6'(1'H,3'H)-trione;
- 1,2,4,4a-Tetrahydro-2,4-dimethyl-9-nitrospiro[[1,4]oxazino[4,3-a]quinoline-5(6H),5'(2'H)-pyrimidine]-2',4',6'(1'H,3'H)-trione;
- 25 1,2,4,4a-Tetrahydro-2,4-dimethyl-8-nitrospiro[[1,4]oxazino[4,3-a]quinoline-5(6H),5'(2'H)-pyrimidine]-2',4',6'(1'methyl,3'methyl)-trione;
- 1,2,4,4a-Tetrahydro-2,4-dimethyl-8-nitrospiro[[1,4]oxazino[4,3-a]quinoline-5(6H),5'(2'H)-pyrimidine]-2',4',6'(1'H, 3'methyl)-trione;
- 1,2, 4,4a-Tetrahydro-4-methyl-8-nitrospiro[[1,4]oxazino[4,3-a]quinoline-
- 30 5(6H),5'(2'H)-pyrimidine]-2',4',6'(1'H,3'H)-trione;
- 1,2,4,4a-Tetrahydro-2-methyl-8-nitrospiro[[1,4]oxazino[4,3-a]quinoline-5(6H),5'(2'H)-pyrimidine]-2',4',6'(1'H,3's)-trione;

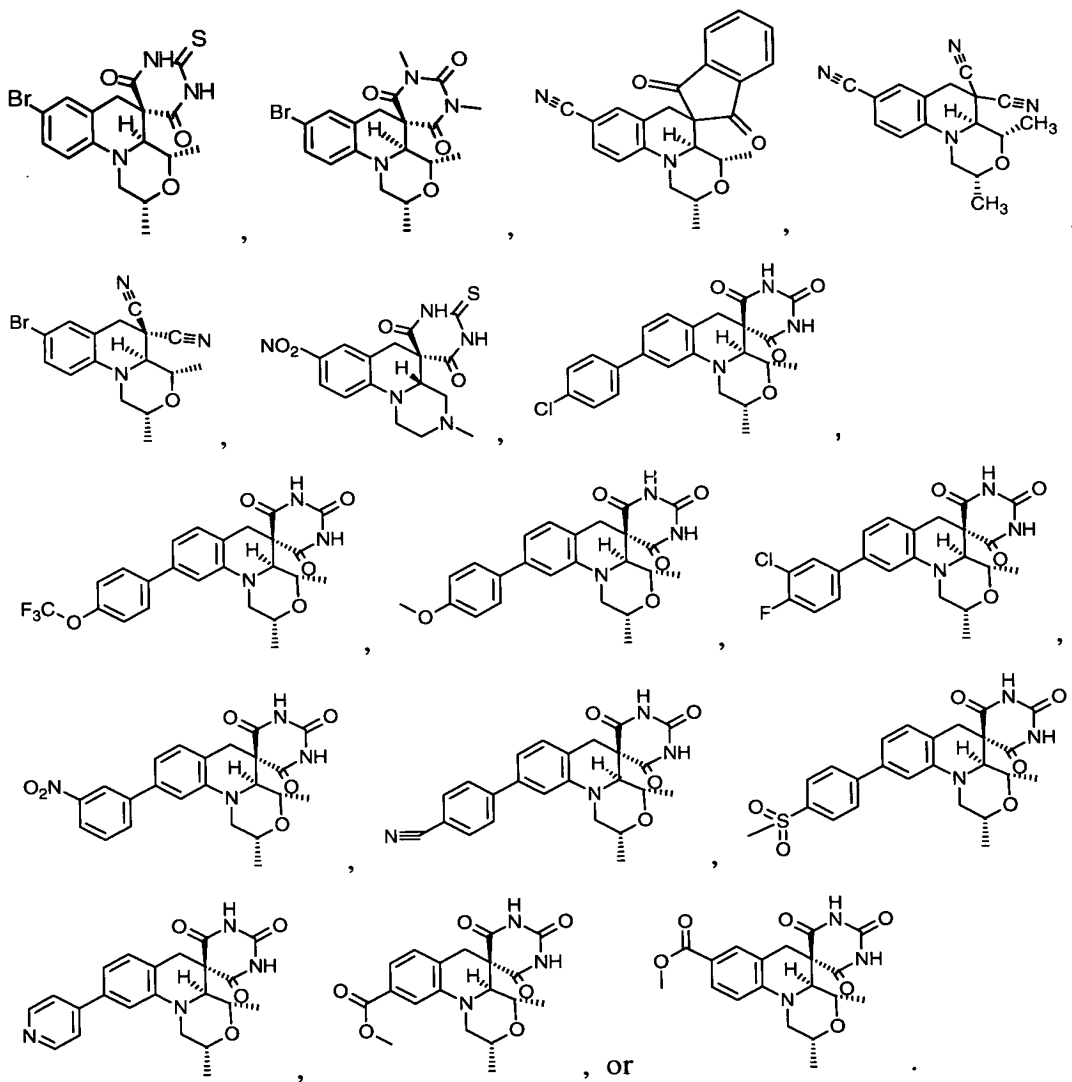
- 2,3,4,4a-Tetrahydro-1',3,3'-trimethylspiro[1*H*-pyrazino[1,2-*a*]quinolinie-5(6*H*),5'(2'*H*)-pyrimidine]-2',4',6'(1'*H*,3'*H*)-trione;
- 2,3,4,4a-Tetrahydro-3-methylspiro[1*H*-pyrazino[1,2-*a*]quinoline-5(6*H*),5'(2'*H*)-pyrimidine]-2',4',6'(1'*H*,3'*H*)-trione;
- 5 1,1-Dimethylethyl 1,1',2,3',4',4a,6'-octahydro-8-nitro-2',4',6'-trioxospiro[3*H*-pyrazino[1,2-*a*]quinoline-5(6*H*),5'(2'*H*)-pyrimidine]-3-carboxylate;
- 1,1-Dimethylethyl-8-cyano-1,1',2,3',4,4',4a,6'-octahydro-2',4',6'-trioxospiro[3*H*-pyrazino[1,2-*a*]quinoline-5(6*H*),5'(2'*H*)-pyrimidine]-3-carboxylate;
- 1,1',2',3',4',4'-Hexahydro-2',4'-dimethyl-1,3-dioxospiro[2*H*-indene-2,5'(6'*H*)-
- 10 [1,4]oxazino[4,3-*a*]quinoline]-8'-carbonitrile;
- 1,2,4,4a-Tetrahydro-2,4-dimethyl[1,4]oxazino[4,3-*a*]quinoline-5,5,8(6*H*)-tricarbonitrile;
- 8-Bromo-1,2,4-4a-tetrhydro-2,4-dimethyl[1,4]oxazino[4,3-*a*]quinoline-5,5(6*H*)-dicarbonitrile;
- 15 2,3,4,4a-Tetrhydro-3-methyl-8-nitro-2'-thioxospiro[1*H*-pyrazino[1,2-*a*]quinoline-5(6*H*),5'(2'*H*)-pyrimidine]-4',6'(1'*H*,3'*H*)-dione);
- 9-(4-Chlorophenyl)-1,2,4,4a-tetryhydro-2,4-dimethylspiro[[1,4]oxazino[4,3-*a*]quinoline-5(6*H*),5'(2'*H*)-pyrimidine]-2',4',6'(1'*H*,3'*H*)-trione;
- 1,2,4,4a-Tetrhydro-2,4-dimethyl-9-[4-(trifluoromethoxy)phenyl]
- 20 spiro[[1,4]oxazino[4,3-*a*]quinoline-5(6*H*),5'(2'*H*)pyrimidine]-2',4',6'(1'*H*,3'*H*)-trione;
- 1,2,4,4a-Tetrahydro-9-(methoxyphenyl)-2,4-dimethylspiro[[1,4]oxazino[4,3-*a*]quinoline-5(6*H*),5'(2'*H*)-pyrimidine]-2',4',6'(1'*H*,3'*H*)-trione;
- 9-(3-Chloro-4-fluorophenyl)-1,2,4,4a,-tetrahydro-2,4-dimethylsprio[[1,4]oxazino[4,3-*a*]quinoline-5(6*H*),5'(2'*H*)-pyrimidine]-2',4',6'(1'*H*,3'*H*)-trione;
- 25 1,2,4,4a-Tetrahydro-2,4-dimethyl-9-(3-nitrophenyl)spiro[[1,4]oxazino[4,3-*a*]quinoline-5(6*H*),5'(2'*H*)-pyrimidine]-2',4',6'(1'*H*,3'*H*)trione;
- 1,1',2,3',4,4',4a,6'-Octahydro-2-4-dimethyl-2',4',6'-trioxospiro[[1,4]oxazino[4,3-*a*]quinoline-5(6*H*),5(2'*H*)-pyrimidin]-9-yl]benzonitrile;
- 1,2,4,4a-Tetrahydro-2,4-dimethyl-9-[4-(methylsulfonyl)phenyl]
- 30 spiro[[1,4]oxazino[4,3-*a*]quinoline-5(6*H*),5'(2'*H*)-pyrimidine]-2',4',6'(1'*H*,3'*H*)-trione;
- 1,2,4,4a-Tetrahydro-2,4-dimethyl-9-(4-pyridinyl)spiro[[1,4]oxazino[4,3-*a*]quinoline-5(6*H*),5'(2'*H*)-pyrimidine]-2',4',6'('H,3'*H*)-trione;

Methyl-1,1'-2,3',4,4a,6'-Octahydro-2,4-dimethyl-2',4',6'-trioxospiro[[1,4]oxazino
[4,3-*a*]quinoline-5(6*H*),5'(2'*H*)-pyrimidine]-9-carboxylate;

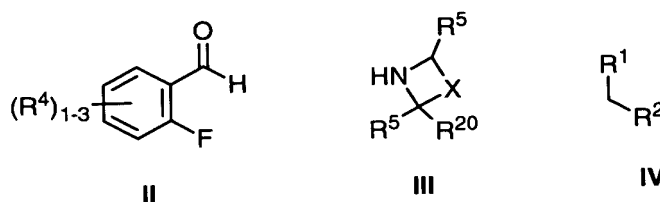
Methyl-1,1'-2,3',4,4a,6'-Octahydro-2,4-dimethyl-2',4',6'-trioxospiro[[1,4]oxazino
[4,3-*a*]quinoline-5(6*H*),5'(2'*H*)-pyrimidine]-8-carboxylate;

- 5 1,2,3,3',4,4',4a,6'-Octahydro-2',4',6'-trioxospiro[1*H*-pyrazino[1,2-*a*]quinoline-
5(6*H*),5'(2'*H*)-pyrimidine-8-carbonitrile monohydrochloride; and
2,3,4,4a-Tetrahydro-8-nitrospiro[1*H*-pyrazino[1,2-*a*]quinoline-5(6*H*),5'(2'*H*)-
pyrimidine]-2',4',6'(1'*H*,3'*H*)-trione monohydrochloride.

10 18. A compound selected from



19. A method of synthesizing compounds of formula I, comprising reacting an amine of the formula III with a fluoroaldehyde of the formula II in a polar, aprotic solvent, followed by methylenation with a compound of the formula IV, and thermal rearrangement in a polar, protic solvent, an aprotic solvent, or a nonpolar solvent system including ZnCl_2 .



wherein, X, R^1 , R^2 , R^3 , R^4 , R^5 , and R^{20} are as defined above.

20. A method for the treatment of microbial infections in mammals comprising administration of an effective amount of compound of claim 1 to said mammal.
21. The method of claim 20 wherein said compound of claim 1 is administered to the mammal orally, parenterally, transdermally, or topically in a pharmaceutical composition.
22. The method of claim 20 wherein said compound is administered in an amount of from about 0.1 to about 100 mg/kg of body weight/day.
23. The method of claim 20 wherein said compound is administered in an amount of from about 1 to about 50 mg/kg of body weight/day.
24. A pharmaceutical composition comprising a compound of claim 1 and a pharmaceutically acceptable carrier.
25. A pharmaceutical composition comprising one or more compounds of claim 1.
26. The composition of claim 25 wherein the composition comprises an enantiomerically enriched form of a compound of formula I.

27. The composition of claim 26, wherein the composition comprises at least 50% of one enantiomer of a compound of formula I relative to the other enantiomer of the compound.

5 28. The compositions of claim 27, wherein the composition comprises at least 80% of one enantiomer of a compound of formula I relative to the other enantiomer of the compound.

29. The compositions of claim 27, wherein the composition comprises at least
10 90% of one enantiomer of a compound of formula I relative to the other enantiomer of the compound.

30. A compound selected from
(2S,4R,4aR)-4-isopropyl-2-methyl-8-nitro-1,2,4,4a-tetrahydro-2'H,6H-spiro[1,4-oxazino[4,3-a]quinoline-5,5'-pyrimidine]-2',4',6'(1'H,3'H)-trione;
15 (2R,4S,4aS)-2,4-diethyl-8-nitro-1,2,4,4a-tetrahydro-2'H,6H-spiro[1,4-oxazino[4,3-a]quinoline-5,5'-pyrimidine]-2',4',6'(1'H,3'H)-trione;
(2R,4S,4aS)-2,4-dimethyl-8-nitro-1,2,4,4a-tetrahydro-2'H,6H-spiro[1,4-oxazino[4,3-a]quinoline-5,5'-pyrimidine]-2',4',6'(1'H,3'H)-trione;
20 (2R,4S,4aS)-8-acetyl-9,10-difluoro-2,4-dimethyl-1,2,4,4a-tetrahydro-2'H,6H-spiro[1,4-oxazino[4,3-a]quinoline-5,5'-pyrimidine]-2',4',6'(1'H,3'H)-trione;
(2R,4S,4aS)-10-fluoro-2,4-dimethyl-8-nitro-1,2,4,4a-tetrahydro-2'H,6H-spiro[1,4-oxazino[4,3-a]quinoline-5,5'-pyrimidine]-2',4',6'(1'H,3'H)-trione;
(2R,4S,4aS)-2,4-dimethyl-8-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]-1,2,4,4a-
25 tetrahydro-2'H,6H-spiro[1,4-oxazino[4,3-a]quinoline-5,5'-pyrimidine]-2',4',6'(1'H,3'H)-trione;
1,2,4,4a-Tetrahydro-2,4-dimethyl-8-nitrospiro[[1,4]oxazino[4,3-a]quinoline-5(6H),5'(2'H)-pyrimidine]-2',4',6'(1'H,3'H)-trione;
(2S,4R,4aR)-2-isopropyl-4-methyl-8-nitro-1,2,4,4a-tetrahydro-2'H,6H-spiro[1,4-oxazino[4,3-a]quinoline-5,5'-pyrimidine]-2',4',6'(1'H,3'H)-trione;
30 (2S,4R,4aR)-2-isopropyl-4-methyl-8-nitro-1,2,4,4a-tetrahydro-2'H,6H-spiro[1,4-oxazino[4,3-a]quinoline-5,5'-pyrimidine]-2',4',6'(1'H,3'H)-trione;

- (2R,4S,4aS)-2,4-diisopropyl-8-nitro-1,2,4,4a-tetrahydro-2'H,6H-spiro[1,4-oxazino[4,3-a]quinoline-5,5'-pyrimidine]-2',4',6'(1'H,3'H)-trione;
- (2R,4S,4aS)-2,4-dimethyl-8-(3-methyl-1,2,4-oxadiazol-5-yl)-1,2,4,4a-tetrahydro-2'H,6H-spiro[1,4-oxazino[4,3-a]quinoline-5,5'-pyrimidine]-2',4',6'(1'H,3'H)-trione;
- 5 (2S,4R,4aR)-8-acetyl-10-fluoro-2,4-dimethyl-1,2,4,4a-tetrahydro-2'H,6H-spiro[1,4-oxazino[4,3-a]quinoline-5,5'-pyrimidine]-2',4',6'(1'H,3'H)-trione;
- (2'R,4'S,4a'S)-2',4'-dimethyl-8'-nitro-1',2',4',4a'-tetrahydro-2H,6'H-spiro[pyrimidine-5,5'-[1,4]thiazino[4,3-a]quinoline]-2,4,6(1H,3H)-trione;
- 8-bromo-2,4-dimethyl-10-nitro-1,2,4,4a-tetrahydro-2'H,6H-spiro[1,4-oxazino[4,3-a]quinoline-5,5'-pyrimidine]-2',4',6'(1'H,3'H)-trione;
- 10 (2R,4S,4aS)-2,4-dimethyl-8-(5-methyl-1,2,4-oxadiazol-3-yl)-1,2,4,4a-tetrahydro-2'H,6H-spiro[1,4-oxazino[4,3-a]quinoline-5,5'-pyrimidine]-2',4',6'(1'H,3'H)-trione;
- (2S,4S,4aS)-4-methyl-8-nitro-2-(trifluoromethyl)-1,2,4,4a-tetrahydro-2'H,6H-spiro[1,4-oxazino[4,3-a]quinoline-5,5'-pyrimidine]-2',4',6'(1'H,3'H)-trione;
- 15 4-azido-3-iodobenzyl (2R,4S,4aS)-2,4-dimethyl-2',4',6'-trioxo-1,1',2,3',4,4',4a,6'-octahydro-2'H,6H-spiro[1,4-oxazino[4,3-a]quinoline-5,5'-pyrimidine]-8-carboxylate;
- or
- (2S,4S,4aS)-2,4-dimethyl-8-nitro-1,2,4,4a-tetrahydro-2'H,6H-spiro[1,4-oxazino[4,3-a]quinoline-5,5'-pyrimidine]-2',4',6'(1'H,3'H)-trione.